

518,543

Rec'd PCTO 03 JAN 2005

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
15 January 2004 (15.01.2004)

PCT

(10) International Publication Number
WO 2004/005249 A1

(51) International Patent Classification⁷: C07D 207/22,
521/00, 403/06, A61K 31/4025, A61P 5/10

(74) Agent: SERONO INTERNATIONAL S.A.; Intellectual
Property, 12, chemin des Aulx, CH-1228 Plan-les-Ouates
(CH).

(21) International Application Number:
PCT/EP2003/050286

(81) Designated States (*national*): AE, AG, AL, AM, AT, AU,
AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU,
CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW,
MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD,
SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US,
UZ, VC, VN, YU, ZA, ZM, ZW.

(22) International Filing Date: 4 July 2003 (04.07.2003)

(84) Designated States (*regional*): ARIPO patent (GH, GM,
KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW),
Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),
European patent (AT, BE, BG, CH, CY, CZ, DE, DK, BE,
ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO,
SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM,
GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

(25) Filing Language: English

Published:

(26) Publication Language: English

- with international search report
- before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments

(30) Priority Data: 02100784.4

5 July 2002 (05.07.2002) EP

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

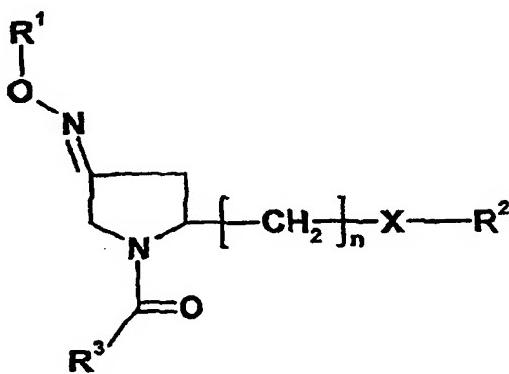
(71) Applicant (*for all designated States except US*): APPLIED RESEARCH SYSTEMS ARS HOLDING N.V.
[NL/NL]; Pietermaai 15, NL-Curaçao (AN).

(72) Inventors; and

(75) Inventors/Applicants (*for US only*): JORAND-LE-BRUN, Catherine [FR/FR]; Chef Lieu, F-74270 Contamine-Sarzin (FR). DORBAIS, Jérôme [FR/FR]; 5, rue Burgat-Charvillon, F-74000 Annecy (FR). QUATTROPANI, Anna [CH/CH]; Rue de la Filature 20, CH-1227 Carouge (CH). SCHWARZ, Matthias [CH/CH]; Av. Tronchet 32 B, CH-1226 Thonex (CH). VALOGNES, Delphine [FR/FR]; Murcier, F-74520 Savigny (FR).

(54) Title: PYRROLIDINE DERIVATIVES AS OXYTOCIN ANTAGONISTS

WO 2004/005249 A1



(I)

(57) Abstract: The present invention relates to novel pyrrolidine derivative of formula (I), its geometrical isomers, its optically active forms as enantiomers, diastereomers, mixtures of these and its racemate forms, as well as salts thereof, wherein R¹ is selected from the group comprising or consisting of H and C₁-C₆-alkyl, for the prevention and/or treatment of preterm labor, premature birth or dysmenorrhea.